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     (FILE 'HOME' ENTERED AT 16:29:51 ON 10 FEB 2003)

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L1             STRUC
L2           1 S L1
L3           9 S L1 FUL

     FILE 'CAPLUS' ENTERED AT 16:32:58 ON 10 FEB 2003
L4          18 S L3

     FILE 'REGISTRY' ENTERED AT 16:34:22 ON 10 FEB 2003
L5           3 S 134234-13-2 OR 134234-12-1 OR 134138-41-3

     FILE 'CAPLUS' ENTERED AT 16:35:23 ON 10 FEB 2003
L6          43 S L5
L7           0 S L6 AND CHIRAL
L8           0 S L6 AND (STEREO?(L)CATALYST?)
L9           0 S L6 AND CATALYST?
L10          0 S L6 AND HYDROGENA?
L11         43 S L6
L12         19 S L11 AND P/DT
L13         12 S L5/P
L14          1 S L13 AND HYDROGEN?

=> s l13  not l14
L15         11 L13 NOT L14

=> d bib abs 1-11

L15  ANSWER 1 OF 11  CAPLUS  COPYRIGHT 2003 ACS
AN   2002:314393  CAPLUS
DN   136:325428
TI   Preparation of 1-(hydroxyphenyl)-2-(phenylpiperidinyl)-1-propanol NMDA
     NR2B antagonists for treating depression and neurodegenerative disorders
IN   Chenard, Bertrand Leo; Menniti, Frank Samuel; Saltarelli, Mario David
PA   Pfizer Products Inc., USA
SO   Eur. Pat. Appl., 17 pp.
     CODEN: EPXXDW
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.         KIND  DATE           APPLICATION NO.  DATE
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PI   EP 1199068          A2   20020424       EP 2001-308295   20010928
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     AU 2001077304       A5   20020411       AU 2001-77304    20010928
     JP 2002161052       A2   20020604       JP 2001-306254   20011002
     US 2002072538       A1   20020613       US 2001-969317   20011002
PRAI US 2000-237770P     P    20001002
OS   MARPAT 136:325428
GI
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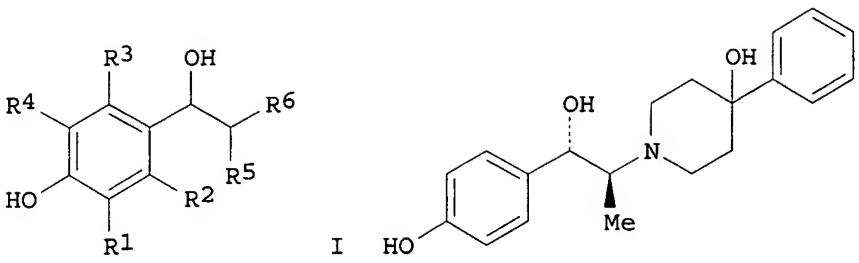


AB Title compds. I [wherein R1-R4 = independently H, alkyl, halo, CF3, OH, or OR7; R5 = Me or Et; or R2 and R5 are taken together to form a chroman-4-ol ring; R6 = 4-OH-4-R8-piperidino, 3-OH-3-R8-pyrrolidino, or 3-XR8-8-azabicyclo[3.2.1]oct-8-yl; R7 = Me, Et, Pr-i, or Pr-n; R8 = (un)substituted Ph; X = O, S, or (CH2)n; n = 0-3] were prepd. as selective N-methyl-D-aspartate (NMDA) NR2B subtype receptor antagonists for treating certain disorders resulting from neurodegeneration and for treating depression. These disorders include hearing loss, vision loss, neurodegeneration caused by epileptic seizures, neurotoxin poisoning, Restless Leg Syndrome, multi-system atrophy, non-vascular headache, and depression (no data). Thus, II.bul.MeSO3H was synthesized on pilot-scale in an 8-step process involving: (1) benzylation of 4'-hydroxypropiophenone (96.3%) , (2) .alpha.-bromination (77.6%), (3) addn. of 4-hydroxy-4-phenylpiperidine (77%), (4) redn. to give the threo isomer (86.5%), (5) debenzylation (90%), (6) resoln. of the (S,S)-isomer using D-(-)-tartaric acid (76.7%), (7) conversion to the free base (93.5%), and (8) mesylate salt formation (88%).

L15 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2003 ACS
AN 2002:314392 CAPLUS
DN 136:319415
TI N-methyl-D-aspartate antagonists for prophylactic and treatment in a mammal of neurol. damage resulting from impairment of glucose and/or oxygen supply to the brain
IN Chenard, Bertrand Leo; Menniti, Frank Samuel; Saltarelli, Mario David; Schneider, Erika
PA Pfizer Products Inc., USA
SO Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1199067	A2	20020424	EP 2001-308289	20010928
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2002072485	A1	20020613	US 2001-969354	20011002
	JP 2002322092	A2	20021108	JP 2001-306332	20011002
PRAI	US 2000-237324P	P	20001002		

OS MARPAT 136:319415
AB Methods are disclosed for the inhibition in a mammal neurol. damage resulting from impairment of glucose and/or oxygen supply to the brain. Method comprises administration to the mammal prior to the impairment of glucose and/or oxygen supply to the brain an amt. of an NR2B subunit selective NMDA antagonist, which amt. is effective in inhibiting neurol. damage. The invention also provides a method for the prevention of primary hyperalgesia, secondary hyperalgesia, primary allodynia, secondary allodynia, or other pain caused by central sensitization, in a mammal, which method comprises administration to the mammal, prior to affliction with said pain, an amt. of an NR2B subunit selective NMDA antagonist,

which amt. is effective in preventing said pain.

L15 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2003 ACS
AN 2002:183752 CAPLUS
DN 136:241682
TI Pharmaceutical combinations for the treatment of stroke and traumatic brain injury
IN Chenard, Bertrand Leo; Saltarelli, Mario David; Menniti, Frank Samuel
PA Pfizer Products Inc., USA
SO Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1186304	A2	20020313	EP 2001-307521	20010904
	EP 1186304	A3	20030205		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 2002123510	A1	20020905	US 2001-947878	20010906
	JP 2002322096	A2	20021108	JP 2001-270308	20010906
PRAI	US 2000-230943P	P	20000906		

OS MARPAT 136:241682
AB Methods for the treatment of hypoxic or ischemic stroke comprising administration to a patient in need of such treatment an NMDA receptor antagonist (multiple Markush structures included) in combination with a thrombolytic agent are disclosed.

L15 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2003 ACS
AN 2002:183751 CAPLUS
DN 136:226803
TI Pharmaceutical combinations, for the treatment of stroke and traumatic brain injury, containing a neutrophil inhibiting factor and an selective NMDA-NR2B receptor antagonist
IN Chenard, Bertrand Leo; Menniti, Frank Samuel; Saltarelli, Mario David
PA Pfizer Products Inc., USA
SO Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1186303	A2	20020313	EP 2001-307246	20010824
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 2001003888	A	20020604	BR 2001-3888	20010905
	US 2002045656	A1	20020418	US 2001-947652	20010906
	JP 2002322095	A2	20021108	JP 2001-270196	20010906
PRAI	US 2000-230944P	P	20000906		

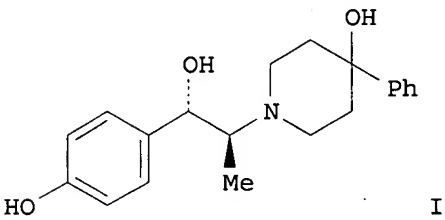
OS MARPAT 136:226803
AB This invention relates to methods of treating traumatic brain injury (TBI) or hypoxic or ischemic stroke, comprising administering to a patient in need of such treatment an NR2B subtype selective N-methyl-D-aspartate (NMDA) receptor antagonist in combination with either: (a) a neutrophil inhibitory factor (NIF); (b) a sodium channel antagonist; (c) a nitric oxide synthase (NOS) inhibitor; (d) a glycine site antagonist; (e) a potassium channel opener; (f) an AMPA/kainate receptor antagonist; (g) a calcium channel antagonist; (h) a GABA-A receptor modulator (e.g., a GABA-A receptor agonist); or (i) an antiinflammatory agent.

L15 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2003 ACS

AN 2001:796279 CAPLUS
DN 135:331349
TI Process for the preparation of the mesylate salt trihydrate of 1-(4-hydroxyphenyl)-2-(4-hydroxy-4-phenylpiperidin-1-yl)-1-propanol and its intermediates
IN Rainville, Joseph Philip; Sinay, Terry Gene, Jr.; Walinsky, Stanley Walter
PA Pfizer Products Inc., USA
SO Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1149831	A1	20011031	EP 2001-303713	20010424
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2002016466	A1	20020207	US 2001-840668	20010423
CA 2345286	AA	20011028	CA 2001-2345286	20010426
BR 2001001611	A	20020115	BR 2001-1611	20010426
CN 1322716	A	20011121	CN 2001-117154	20010427
JP 2001354650	A2	20011225	JP 2001-130684	20010427

PRAI US 2000-200417P P 20000428
OS CASREACT 135:331349; MARPAT 135:331349
GI



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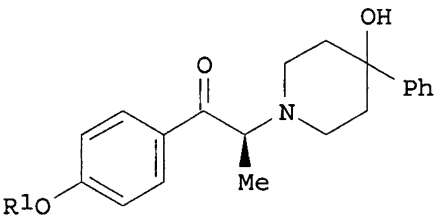


II

AB The present invention is directed to a novel process for the prepn. of the title compd. I.MeSO3H comprising redn. of (2S)-II [R1 = CH2Ph, alkylbenzyl, aroyl, etc.] with alkali borohydride [LiBH4, NaBH4] followed by cleaving off the protecting group R1 in the presence of MeSO3H. The present invention is further directed to a process for the prepn. of a (2S)-(+)-II starting from racemic II.
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2003 ACS
AN 2001:508068 CAPLUS
DN 135:87188
TI Method using a NR2B-selective NMDA antagonist for treating acute, chronic and/or neuropathic pain
IN Menniti, Frank S.; Chenard, Bertrand L.; Saltarelli, Mario D.; Parker, Jonathon M.
PA USA
SO U.S. Pat. Appl. Publ., 14 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

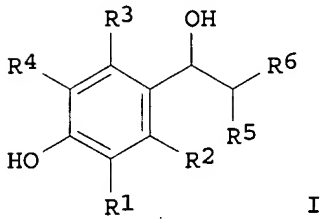
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2001007872	A1	20010712	US 1999-397891	19990917

PRAI US 1998-102630P P 19981001
OS MARPAT 135:87188
AB A method is provided for treating acute, chronic and/or neuropathic pain with an effective amt. of an NR2B-selective NMDA antagonist having a ratio of NR2B receptor activity to .alpha.1-adrenergic receptor activity of at least about 3:1. Prepn. of e.g. (1R*,2R*)-1-(4-hydroxy-3-methylphenyl)-2-[4-(4-fluorophenyl)-4-hydroxypiperidin-1-yl]propan-1-ol mesylate is described.

L15 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2003 ACS
AN 1998:118605 CAPLUS
DN 128:167356
TI Preparation of phenylpiperidinylpropanols as neuroprotectants for treatment of tinnitus.
IN Sands, Steven B.
PA Pfizer Inc., USA
SO U.S., 10 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5716961	A	19980210	US 1996-709996	19960909

PRAI US 1996-709996 19960909
OS MARPAT 128:167356
GI



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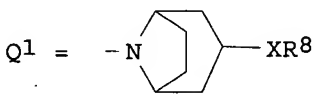

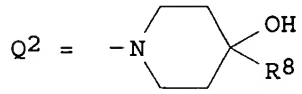

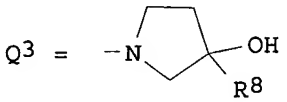

AB A method of treating tinnitus comprises administration of title compds. [I; R1-R4 = H, alkyl, halo, OH, CF3, OR7; R5 = Me, Et; R2R5 = OCH2; R6 = Q1, Q2, Q3; R7 = Me, Et, Me2CH, Pr; R8 = (substituted) Ph; X = O, S, (CH2)n; n = 0-3] (no data). Thus, racemic (1S*,2S*)-1-(4-hydroxypnenyl)-2-(4-hydroxy-4-phenylpiperidin-1-yl)-1-propanol was resolved using (+)-tartaric acid in MeOH to give (1S,2S)- and (1R,2R)-1-(4-hydroxypnenyl)-2-(4-hydroxy-4-phenylpiperidin-1-yl)-1-propanol.

L15 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2003 ACS
AN 1997:377705 CAPLUS
DN 126:343494
TI Treatment of tinnitus using (hydroxyphenyl)piperidinylpropanols and analogs as neuroprotective agents
IN Sands, Stephen B.
PA Pfizer Inc., USA
SO Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 768086	A1	19970416	EP 1996-306198	19960827
	EP 768086	B1	20020925		
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	TW 450807	B	20010821	TW 1996-85107025	19960611
	AT 224714	E	20021015	AT 1996-306198	19960827
	JP 3038155	B2	20000508	JP 1996-262343	19960912
	CA 2185512	AA	19970316	CA 1996-2185512	19960913
	AU 9665635	A1	19970320	AU 1996-65635	19960913
	AU 697679	B2	19981015		
	CN 1149454	A	19970514	CN 1996-112326	19960913
PRAI	US 1995-3855P	P	19950915		

OS MARPAT 126:343494
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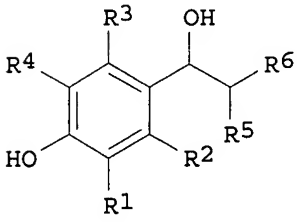

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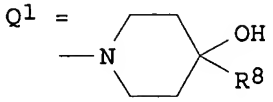

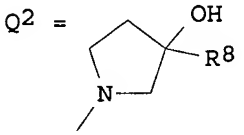

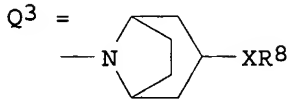

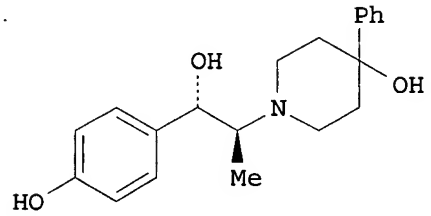

II

AB Title compds. I [R1-R4 = H, alkyl, halo, CF3, OH, OR7; R5 = Me, Et; or R2R5 = OCH2 and R1, R3, R4 = H, alkyl, halo, CF3, OH, OR7; R6 = aza(bi)cycloalkyl groups Q1, Q2, or Q3; R7 = Me, Et, Pr, iso-Pr; R8 = Ph (un)substituted by 0-3 of alkyl, halo, CF3; X = O, S, (CH2)n; n = 0-3], and their pharmaceutically acceptable salts, are neuroprotective agents, specifically NMDA antagonists, useful in the treatment of tinnitus (no data). Several compds., notably II, its enantiomer, and their tartrate salts, were prepd. Examples include resolns. of racemates, and a large-scale synthetic prepn.

L15 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2003 ACS
AN 1997:97184 CAPLUS
DN 126:104016

TI Preparation of 1-hydroxyphenyl-2-hydroxypiperidinopropanols and analogs as NMDA antagonists

IN Chenard, Bertrand L.; Menniti, Frank S.
PA Pfizer Inc., USA; Chenard, Bertrand, L.; Menniti, Frank, S.

SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9637226	A2	19961128	WO 1995-IB398	19950526
	WO 9637226	A3	19961227		
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	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
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	EP 828513	A2	19980318	EP 1995-918111	19950526
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
	JP 11505828	T2	19990525	JP 1995-535520	19950526
	RU 2176145	C2	20011127	RU 1996-109832	19950526
	TW 470740	B	20020101	TW 1996-85105153	19960430
	IL 118328	A1	20001206	IL 1996-118328	19960520
	NO 9602130	A	19961127	NO 1996-2130	19960524
	AU 9654519	A1	19961205	AU 1996-54519	19960524
	AU 696258	B2	19980903		
	CN 1159325	A	19970917	CN 1996-107556	19960524
	ZA 9604180	A	19971124	ZA 1996-4180	19960524
	BR 9602485	A	19980422	BR 1996-2485	19960527
	CZ 283979	B6	19980715	CZ 1996-1524	19960527
	US 6258827	B1	20010710	US 1997-930599	19971010
	FI 9704323	A	19971125	FI 1997-4323	19971125
PRAI	HU 1996-1419	A	19960524		
	CA 1995-2219911	A	19950526		
	WO 1995-IB398	W	19950526		

OS MARPAT 126:104016

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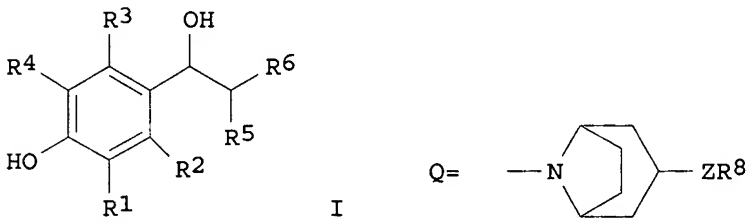

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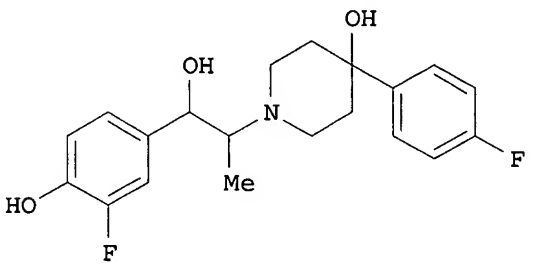

AB Title compds. [I; R1-R4 = H, halo, alkyl, alkoxy, etc.; R5 = Me or Et; R2R5 = OCH2; R6 = 4-hydroxy-4-phenylpiperidino, 3-hydroxy-3-phenylpyrrolidino, azabicycloalkyl group Q, etc.; R8 = (un)substituted Ph; Z = bond, O, S, (CH2)1-3] were prepd. as NMDA antagonists (no data).

Thus, 3-fluoro-4-triisopropylsilyloxy-.alpha.-bromopropiophenone (prepn. given) was aminated by 4-(4-fluorophenyl)-4-hydroxypiperidine and the product reduced to give, after deprotection, title compd. II.

L15 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2003 ACS
AN 1995:699265 CAPLUS
DN 123:285708
TI (1S,2S)-1-(4-Hydroxyphenyl)-2-(4-hydroxy-4-phenylpiperidino)-1-propanol: A Potent New Neuroprotectant Which Blocks N-Methyl-D-Aspartate Responses
AU Chenard, B. L.; Bordner, J.; Butler, T. W.; Chambers, L. K.; Collins, M. A.; De Costa, D. L.; Ducat, M. F.; Dumont, M. L.; Fox, C. B.; et al.
CS Central Research Division, Pfizer Inc., Groton, CT, 06340, USA
SO Journal of Medicinal Chemistry (1995), 38(16), 3138-45
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB (+)-4-Hydroxy-.alpha.-(4-hydroxyphenyl)-.beta.-methyl-4-phenyl-1-piperidinethanol (CP-101,606) was identified as a potent and selective N-methyl-D-aspartate (NMDA) antagonist through a structure activity relation (SAR) program based on ifenprodil, a known antihypertensive agent with NMDA antagonist activity. Sites on the threo-ifenprodil skeleton explored in this report include the pendent Me group (H, Me, and Et nearly equipotent; Pr much weaker), the spacer group connecting the C-4 Ph group to the piperidine ring (an alternating potency pattern with 0 and 2 carbon atoms yielding the greatest potency), and simple Ph substitution (little effect). While potent NMDA antagonists were obtained with a two atom spacer, this arrangement also increased .alpha.1 adrenergic affinity. Introduction of a hydroxyl group into the C-4 position on the piperidine ring resulted in substantial redn. in .alpha.1 adrenergic affinity. The combination of these observations was instrumental in the discovery of CP-101,606 . This compd. potently protects cultured hippocampal neurons from glutamate toxicity (IC50 = 10 nM) while possessing little of the undesired .alpha.1 adrenergic affinity (IC50 .apprx. 20 .mu.M) of ifenprodil. Furthermore, CP-101,606 appears to lack the psychomotor stimulant effects of nonselective competitive and channel-blocking NMDA antagonists. Thus, CP-101,606 shows great promise as a neuroprotective agent and may lack the side effects of compds. currently in clin. trials.

L15 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2003 ACS
AN 1991:408584 CAPLUS
DN 115:8584
TI Preparation of 2-piperidino-1-alkanol derivatives as antiischemic agents
IN Chenard, Bertrand Leo
PA Pfizer Inc., USA
SO Eur. Pat. Appl., 48 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 398578	A2	19901122	EP 1990-304975	19900509
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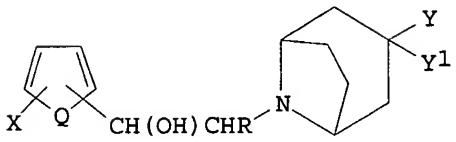
	US 5185343	A	19930209	US 1991-784446	19911023
	US 5272160	A	19931221	US 1992-932844	19920820
	US 5338754	A	19940816	US 1993-96913	19930723
	US 5391742	A	19950221	US 1994-228466	19940415
	US 5710168	A	19980120	US 1994-336639	19941109
	US 5527912	A	19960618	US 1995-411030	19950327
PRAI	WO 1989-US2176	A	19890517		

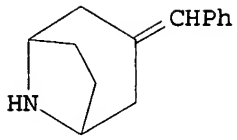
	WO 1990-US292	A	19900116
	US 1991-784446	A3	19911023
	US 1992-932844	A3	19920820
	US 1993-96913	A3	19930723
	US 1994-228466	A2	19940415
	US 1994-336639	A3	19941109

OS MARPAT 115:8584

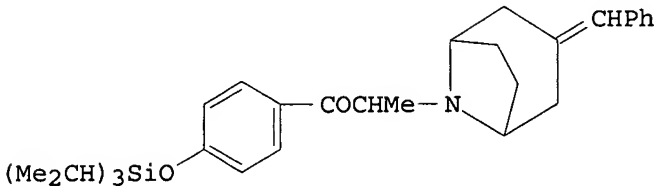
GI



I



II



III

AB The title compds. (I; R = H, alkyl, alkenyl, alkynyl; X = H, OH, aryl; Y = H, OH; Y1 = aryl, aralkyl, arylthio, aryloxy, YY1 = arylmethylene, aralkylmethylene; Q = S, CH:CH), useful as antiischemic agents in treating strokes, Alzheimer's disease, Huntington's disease, and Parkinson's disease (no data), are prepd. A mixt. of piperidine deriv. II, p-(Me2CH)3SiOC6H4COCHBrMe, and Et3N in EtOH was refluxed to give 23% propiophenone III, which was reduced with LiAlH4 to give 89% mixt. of (1R*,2S*)- and (1S*,2S*)-I [R = Me, X = 4-(Me2CH)3SiO, YY1 = PhCH, Q = CH:CH] (IV). Hydrolysis of IV with Bu4N+ F- in THF at room temp. gave the mixt. phenolic alc. (1S*,2S*)- and (1R*,2S*)-I (R = Me, X = 4-HO, YY1 = PhCH, Q = CH:CH). Also prepd. were 75 addnl. I and intermediates.

```
=> s l5/p
L13         12 L5/P

=> s l13 and hydrogen?
        968228 HYDROGEN?
L14          1 L13 AND HYDROGEN?

=> d bib abs

L14  ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2003 ACS
AN   1997:262327  CAPLUS
DN   126:238309
TI   Preparation of (1S, 2S)-1-(4-hydroxyphenyl)-2-(4-hydroxy-4-phenylpiperidin-
     1-yl)-1-propanol methanesulfonate trihydrate as an NMDA antagonist.
IN   Andino, Marta M.; Sinay, Terry G.; Fiese, Eugene F.
PA   Pfizer Inc., USA; Andino, Marta M.; Sinay, Terry G.; Fiese, Eugene F.
SO   PCT Int. Appl., 29 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
PI   WO 9707098         A1   19970227       WO 1996-IB592    19960620
         W:  AU, BG, BR, BY, CA, CN, CZ, HU, IL, IS, JP, KR, KZ, LK, LV, MX,
             NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, UZ, VN
         RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,
             SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
     CA 2228752         AA   19970227       CA 1996-2228752  19960620
     AU 9659084         A1   19970312       AU 1996-59084    19960620
     AU 710984          B2   19991007
     EP 843661          A1   19980527       EP 1996-916266   19960620
     EP 843661          B1   20020327
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
             SI, LV
     JP 10510552        T2   19981013       JP 1996-509083   19960620
     CN 1198739         A    19981111       CN 1996-195649   19960620
     RU 2140910         C1   19991110       RU 1998-102116   19960620
     JP 3099072         B2   20001016       JP 1997-509083   19960620
     IL 122649          A1   20010826       IL 1996-122649   19960620
     AT 215072          E    20020415       AT 1996-916266   19960620
     ES 2170857         T3   20020816       ES 1996-916266   19960620
     NO 9800574         A    19980210       NO 1998-574      19980210
     US 6008233         A    19991228       US 1998-11426    19980507
     BR 9610766         A    19990713       BR 1996-10766    19980511
PRAI US 1995-2238P      P    19950811
     WO 1996-IB592      W    19960620
AB   Title compd. (I) was prepd. for treatment of degenerative nervous
     disorders (no data). Thus, 4'-benzyloxypropiophenone (prepn. given) was
     stirred with Br in CH2Cl2 to give 77.6% .alpha.-bromo deriv., which was
     refluxed with 4-hydroxy-4-phenylpiperidine and Et3N in EtOAc to give 77%
     4-hydroxy-4-phenyl-1-[1-(4-benzyloxybenzoyl)ethyl]piperidine. The latter
     was reduced with NaBH4 in EtOH to give 86.5% threo alc. deriv., which was
     hydrogenolyzed (90%), resolved with D-tartaric acid, converted to
     the free base, and salified with MeSO3H in H2O to give I.
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=> s 134234-13-2 or 134234-12-1 or 134138-41-3
             1 134234-13-2
               (134234-13-2/RN)
             1 134234-12-1
               (134234-12-1/RN)
             1 134138-41-3
               (134138-41-3/RN)
L5           3 134234-13-2 OR 134234-12-1 OR 134138-41-3
```

```
=> s ((ru or ruthenium)(l)diphosphin?(l)diamin?) and (hydrogena? or reduct?)
         54648 RU
         69870 RUTHENIUM
          6792 DIPHOSPHIN?
        125696 DIAMIN?
            24 (RU OR RUTHENIUM)(L)DIPHOSPHIN?(L)DIAMIN?
        243188 HYDROGENA?
        392069 REDUCT?
L1          22 ((RU OR RUTHENIUM)(L)DIPHOSPHIN?(L)DIAMIN?) AND (HYDROGENA? OR
               REDUCT?)

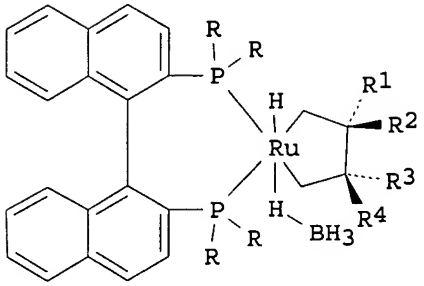
=> s l1 and keton?
        186517 KETON?
L2          14 L1 AND KETON?

=> d bib abs 1-14

L2   ANSWER 1 OF 14  CAPLUS  COPYRIGHT 2003 ACS
AN   2003:28126  CAPLUS
TI   Supported organometallic complexes. Part XXXV. Synthesis,
     characterization, and catalytic application of a new family of
     diamine(diphosphine)ruthenium(II) complexes
AU   Lindner, Ekkehard; Mayer, Hermann A.; Warad, Ismail; Eichele, Klaus
CS   Institut fur Anorganische Chemie der Universitat Tubingen, Auf der
     Morgenstelle 18, Tubingen, D-72076, Germany
SO   Journal of Organometallic Chemistry (2003), 665(1-2), 176-185
     CODEN: JORCAI; ISSN: 0022-328X
PB   Elsevier Science B.V.
DT   Journal
LA   English
AB   The novel diamine(dppp)ruthenium(II) complexes
     3L1-3L12 have been obtained by reaction of equimolar amts. of Cl2Ru(dppp)2
     (2) with the diamines L1-L12 in excellent yields. Within a few
     minutes one of the diphosphine ligands was quant. exchanged by
     the corresponding diamine. X-ray structural investigations of
     3L1, 3L2, and 3L8 show triclinic unit cells with the space groups P1 (3L1,
     3L2) and P 1 (3L8). Whereas in soln. all these complexes prefer a
     trans-RuCl2 configuration, in the solid state cis-(3L1, 3L2) and
     trans-isomers (3L8) were obsd. With the exception of 3L5, 3L6, and 3L12
     all mentioned ruthenium complexes are highly catalytically
     active in the hydrogenation of the .alpha.,.beta.-unsatd.
     ketone trans-4-phenyl-3-butene-2-one. In most cases the
     conversions and selectivities toward the formation of the unsatd. alc.
     trans-4-phenyl-3-butene-2-ol were >99% with high turnover frequencies
     (TOFs) under mild conditions.

L2   ANSWER 2 OF 14  CAPLUS  COPYRIGHT 2003 ACS
AN   2002:360474  CAPLUS
DN   137:140120
TI   trans-RuH(.eta.1-BH4)(binap)(1,2-diamine): A Catalyst for Asymmetric
     Hydrogenation of Simple Ketones under Base-Free
     Conditions
AU   Ohkuma, Takeshi; Koizumi, Masatoshi; Muniz, Kilian; Hilt, Gerhard; Kabuto,
     Chizuko; Noyori, Ryoji
CS   Department of Chemistry and Research Center for Materials Science, Nagoya
     University, Chikusa, Nagoya, 464-8602, Japan
SO   Journal of the American Chemical Society (2002), 124(23), 6508-6509
     CODEN: JACSAT; ISSN: 0002-7863
PB   American Chemical Society
DT   Journal
LA   English
```

OS CASREACT 137:140120
GI



I

AB Reaction of a chiral RuCl2(**diphosphine**)(1,2-**diamine**) complex and NaBH4 forms trans-RuH(.eta.1-BH4)(**diphosphine**)(1,2-**diamine**)(R,RR)-I [R = 4-MeC6H4, R1 = R4 = Ph, R2 = R3 = H] and (S,SS)-I [R = 3,5-Me2C6H3, R1 = R4 = H, R2 = R3 = Ph] quant. The TolBINAP/DPEN **Ru** complex (R,RR)-I [R = 4-MeC6H4, R1 = R4 = Ph, R2 = R3 = H] has been characterized by single crystal X-ray anal. as well as NMR and IR spectra. The new **Ru** complexes allow for asym. **hydrogenation** of simple **ketones** in 2-propanol without an addnl. strong base. Various base-sensitive **ketones** are convertible to chiral alcs. in a high enantiomeric purity with a substrate/catalyst ratio of up to 100 000 under mild conditions. Configurationally unstable 2-isopropyl- and 2-methoxycyclohexanone can be kinetically resolved with a high enantiomer discrimination. This procedure overcomes the drawback of an earlier method using RuCl2(**diphosphine**)(**diamine**) and an alk. base, which sometimes causes undesired reactions such as ester exchange, epoxy-ring opening, .beta.-elimination, and polymn. of **ketonic** substrates.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2003 ACS
AN 2002:190649 CAPLUS
TI Chiral trans-[RuCl2(dipyridylphosphine)(1,2-diamine)]: Stable catalysts for highly efficient and enantioselective **hydrogenation** of aromatic **ketones**
AU Wu, Jing; Zhou, Zhongyuan; Yeung, Chi Hung; Chan, Albert S. C.
CS Department of Applied Biology and Chemical Technology, The Hong Kong Polytechnic University, Hong Kong, N/A, Peop. Rep. China
SO Abstracts of Papers, 223rd ACS National Meeting, Orlando, FL, United States, April 7-11, 2002 (2002), ORGN-114 Publisher: American Chemical Society, Washington, D. C.
CODEN: 69CKQP
DT Conference; Meeting Abstract
LA English
AB Asym. **hydrogenation** of prochiral **ketones** remains one of the most efficient methods of producing enantiomerically enriched secondary alcs. A breakthrough in this subject is the invention of a new chiral **Ru** catalyst system by Noyori. Appropriate **diphosphine/diamine Ru** complexes along with an inorg. base in 2-propanol is now recognized as the most effective catalyst system for **hydrogenation** of **ketones**. Recently, we have developed a new class of chiral dipyridylphosphine ligands (Figure 1). Their **Ru**(II) complexes were found to be highly effective catalysts in asym. **hydrogenation** of b-ketoesters. In this study, we were very delighted to find that a wide variety of arom. **ketones** can be **hydrogenated** quant. with an excellent

enantioselectivity (up to 100%) by using trans-[RuCl2{(R)-1}{(R,R)-DPEN}] (2) combined with (CH3)3COK in 2-propanol soln. with a substrate to catalyst ratio (S/C) up to 100,000 under atm. to 400 psi hydrogen pressure.

L2 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2003 ACS
AN 2001:767505 CAPLUS
DN 135:331550
TI Preparation of amino compounds containing phosphines and their ruthenium complexes for alcohol synthesis
IN Hirayama, Naoki; Shibayama, Katsuhiro
PA Toray Industries, Inc., Japan
SO Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2001294594	A2	20011023	JP 2001-27792	20010205
PRAI JP 2000-34129	A	20000210		

OS CASREACT 135:331550; MARPAT 135:331550
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Complexes of ruthenium(II) and amino compds. I [R1, R2 = H, noncyclic hydrocarbyl, (un)substituted Ph; Ar = (un)substituted Ph; if R1 = R2 = H, then Ar .noteq. Ph], II [R3 = noncyclic hydrocarbyl, (un)substituted Ph; R4, R5 = H, noncyclic hydrocarbyl, (un)substituted Ph; Ar = same as I], III [R6 = H, noncyclic hydrocarbyl, (un)substituted Ph; n = 0-1; Ar = same as I], or IV (R3, R6, Ar, n = same as above) are prepd. Alcs. are prepd by redn. of **ketones** with hydrogen in the presence of the above complexes. E.g., (R,R)-N,N'-bis[2-(diphenylphosphino)benzyl]cyclohexane-1,2-diamine was reacted with dichlororuthenium-dimethylsulfoxide complex for 6 h to give a complex, in the presence of which acetophenone was **hydrogenated** with H2 in EtOH at 100.degree. for 4 h to give .gtoreq.99% (S)-1-phenylethyl alc.

L2 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2003 ACS
AN 2001:747801 CAPLUS
DN 135:297638
TI Preparation of ruthenium diphosphino[2.2]paracyclophane complexes and their use as catalysts for asymmetric **hydrogenation** of **ketones**
IN Burk, Mark Joseph; Hems, William; Zanotti-gerosa, Antonio
PA Chirotech Technology Limited, UK
SO PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

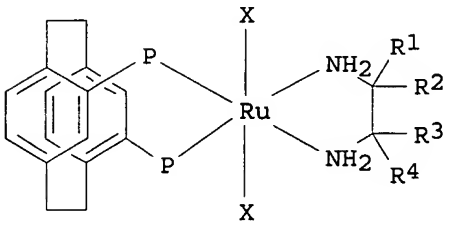
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001074829	A1	20011011	WO 2001-GB1313	20010323

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN,

YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

	EP 1276745	A1	20030122	EP 2001-914056	20010323
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2002026064	A1	20020228	US 2001-821222	20010329
	US 6486337	B2	20021126		
PRAI	GB 2000-7785	A	20000330		
	GB 2000-18143	A	20000724		
	WO 2001-GB1313	W	20010323		

OS CASREACT 135:297638; MARPAT 135:297638
GI



I

AB The prepn. is described for novel ruthenium(II) complexes, suitable in particular for use as catalysts in the asym. **hydrogenation** of **ketones**, are of formula (I) or a diastereoisomer thereof, wherein each Ar is an arom. or heteroarom. group of up to 20 atoms; X is halide or carboxylate; and R1, R2, R3, R4 are independently hydrogen, aryl or alkyl, optionally linked or part of a ring. Thus, isomers of I (Ar = 3,5-dimethylphenyl; R1, R3 = Ph; R2, R4 = H; X = Cl) were prepd. and shown to catalyze the **hydrogenation** of acetophenone to 1-phenylethanol in up to 99% ee.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2003 ACS
AN 2001:639488 CAPLUS
TI Ionic asymmetric **hydrogenation**: Direct hydride and proton transfer from chiral catalysts trans-**Ru**(H)2(**diphosphine**)(**diamine**) to **ketones** and imines
AU Abdur-Rashid, Kamaluddin; Clapham, Sean; Faatz, Michael; Lough, Alan; Morris, Robert H.
CS Department of Chemistry, University of Toronto, Toronto, ON, M5S 3H6, Can.
SO Abstracts of Papers, 222nd ACS National Meeting, Chicago, IL, United States, August 26-30, 2001 (2001), INOR-301 Publisher: American Chemical Society, Washington, D. C.
CODEN: 69BUZP
DT Conference; Meeting Abstract
LA English
AB The trans-dihydride complex RuH2(R-binap)(tmen) (tmen=2,3-diamino-2,3-dimethylbutane) has been isolated, characterized and has the spectroscopic and catalytic properties of the dihydrides present in the Noyori mixts. used for the enantioselective **hydrogenation** of **ketones**. A model of H+/H- transfer from such trans-dihydrides to **ketones** and imines is proposed that explains, and allows the prediction of, the stereochem. of the chiral alcs. and amines produced in these reactions. The use of a diamine without .beta.-hydrogens allows the isolation of the

dihydride and the amido complex with which it is in equil.

L2 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2003 ACS
AN 2001:489998 CAPLUS
DN 135:235388
TI Catalytic Cycle for the Asymmetric **Hydrogenation** of Prochiral **Ketones** to Chiral Alcohols: Direct Hydride and Proton Transfer from Chiral Catalysts trans-**Ru**(H)2(**diphosphine**)(**diamine**) to **Ketones** and Direct Addition of Dihydrogen to the Resulting Hydridoamido Complexes
AU Abdur-Rashid, Kamaluddin; Faatz, Michael; Lough, Alan J.; Morris, Robert H.
CS Department of Chemistry, University of Toronto, Toronto, ON, M5S 3H6, Can.
SO Journal of the American Chemical Society (2001), 123(30), 7473-7474
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
OS CASREACT 135:235388
AB RuH(Cl)(R-binap)(HL) (I; HL = 2,3-diamino-2,3-dimethylbutane), prepd. from RuHCl(binaph)(PPh3) and HL, reacted with KHBsecBu3 to give trans-RuH2(R-binap)(HL) (II) which lost H to give RuH(R-binap)L (III). III reacted instaneously to give II. The crystal structures of I, II and III were detd. Acetophenone and II in C6D6 led to the formation of III. II in acetophenone under H2 catalytically produces S-phenylethanol in about 14 % ee. whereas RuH2(R,R-dpen)(R-binap) (R,R-dpen = R,R-1,2-diphenylethylenediamine) and RuH2(R-daipne)(R-binap) (R-daipne = R-NH2CHiPrC(C6H4OMe)2NH2) are more active and produces S-alcs. in higher yields. The use of a diamine with .alpha.-H's allows the isolation of a trans-dihydride and the amido complex with which it is in equil. by loss of H2. Such species are proposed to form in the Noyori mixt. used for the enantioselective **hydrogenation** of **ketones** by the reaction of the precursor chloro complexes with hydrogen and alkoxide base. A model of H.delta.+...H.delta.- transfer from such a trans-dihydride-diamine complex to a prochiral **ketone** is proposed that explains, and allows the prediction of, the stereochem. of the chiral alcs. produced in these reactions.
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2003 ACS
AN 2001:124763 CAPLUS
DN 134:304622
TI RuHCl(diphosphine)(diamine): Catalyst Precursors for the Stereoselective **Hydrogenation** of **Ketones** and Imines
AU Abdur-Rashid, Kamaluddin; Lough, Alan J.; Morris, Robert H.
CS Department of Chemistry, University of Toronto, Toronto, ON, M5S 3H6, Can.
SO Organometallics (2001), 20(6), 1047-1049
CODEN: ORGND7; ISSN: 0276-7333
PB American Chemical Society
DT Journal
LA English
AB New chiral complexes RuHCl(diphosphine)(diamine) are readily prepd. from RuHCl(PPh3)3 [diphosphine = R-binap, R,R-1,2-bis(diphenylphosphinamino)cyclohexane (dppach); diamine = R,R-1,2-cyclohexanediamine (cydn), R,R-1,2-diphenylethylenediamine (dpen)]. Crystal structures were detd. for [RhHCl(R,R-dppach)(PPh3)] and [RhHCl(R-binap)(R,R-dpen)].cntdot.THF. The diamine complexes, in the presence of alkoxide base, catalyze the **hydrogenation** of a wide variety of **ketones** and imines at 3 atm H2, 20.degree., including prochiral imines to chiral amines in good to excellent enantiomeric excess.
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2003 ACS
AN 2000:796783 CAPLUS
TI Asymmetric **hydrogenation** via architectural and functional molecular engineering.
AU Noyori, Ryoji
CS Department of Chemistry and Research Center for Materials Science, Nagoya University, 464-8602 Nagoya, N/A, Japan
SO Abstr. Pap. - Am. Chem. Soc. (2000), 220th, ORGN-281
CODEN: ACSRAL; ISSN: 0065-7727
PB American Chemical Society
DT Journal; Meeting Abstract
LA English
AB The newly devised RuCl2(phosphine)2(1,2-**diamine**) complexes are excellent pre-catalysts for homogenous **hydrogenation** of simple **ketones** which lack any functionality capable of interacting with the metallic center. The **Ru** complex, coupled with an alk. base in 2-propanol, allows for preferential satn. of a C=O function over a coexisting conjugated or nonconjugated C=C linkage, nitro group, halogen atoms, and various heterocycles. The use of appropriate chiral **diphosphines** and **diamines** results in rapid and productive asym. **hydrogenation** of a range of **ketonic** substrates. **Hydrogenation** of configurationally labile **ketones** allows for dynamic kinetic discrimination of diastereomers, epimers, and enantiomers. The versatility of this method is manifested by the asym. synthesis of some biol. significant chiral compds.

L2 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2003 ACS
AN 2000:374244 CAPLUS
DN 133:163918
TI New chiral catalysts for **reduction** of **ketones**
AU Gao, Jing-Xing; Zhang, Hui; Yi, Xiao-Dong; Xu, Pian-Pian; Tang, Chun-Liang; Wan, Hui-Lin; Tsai, Khi-Rui; Ikariya, Takao
CS Department of Chemistry, Institute of Physical Chemistry, State key Laboratory for Physical Chemistry of Solid Surfaces, Xiamen University, Xiamen, 361005, Japan
SO Chirality (2000), 12(5/6), 383-388
CODEN: CHRLEP; ISSN: 0899-0042
PB Wiley-Liss, Inc.
DT Journal
LA English
OS CASREACT 133:163918
AB The condensation of o-(diphenylphosphino)benzaldehyde with various chiral **diamines** gives a series of diimino-**diphosphine** tetradentate ligands, which are reduced with excess NaBH4 in refluxing ethanol to afford **diaminodiphosphine** ligands in good yield. The reactivity of these ligands toward trans-RuCl2(DMSO)4 and [Rh(COD)Cl]2 was investigated and a no. of chiral **Ru**(II) and Rh(I) complexes with the PNNP-type ligands were synthesized and characterized by microanal. and IR, NMR spectroscopic methods. The chiral **Ru**(II) and Rh(I) complexes have proved to be excellent catalyst precursors for the asym. transfer **hydrogenation** of arom. **ketones**, leading to optically active alcs. in up to 97% ee.
RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2003 ACS
AN 1998:467768 CAPLUS
DN 129:210823
TI Trans-[RuCl2(phosphine)2(1,2-diamine)] and chiral trans-[RuCl2(diphosphine)(1,2-diamine)]: shelf-stable precatalysts for the rapid, productive, and stereoselective **hydrogenation** of

ketones
AU Doucet, Henri; Ohkuma, Takeshi; Murata, Kunihiko; Yokozawa, Tohru; Kozawa, Masami; Katayama, Eiji; England, Anthony F.; Ikariya, Takao; Noyori, Ryoji
CS Department Chemistry Molecular Chirality Research Unit, Nagoya University, Nagoya, 464-8602, Japan
SO Angewandte Chemie, International Edition (1998), 37(12), 1703-1707
CODEN: ACIEF5; ISSN: 1433-7851
PB Wiley-VCH Verlag GmbH
DT Journal
LA English
AB The achiral complexes trans-[RuCl2(phosphine)2(en)] (phosphine = PPh3, P(C6H4-p-Me)3) and chiral complexes trans-[RuCl2(diphosphine)2(1,2-diamine)] (diphosphine = (S)-binap, (S)-tolbinap, S,S-diop, S,S-chiraphos; diamine = S,S-dpen (1,2-diphenylethylenediamine), (S)-diapen (1,1-bis(p-methoxyphenyl)-3-methyl-1,2-butanediamine)) were prepd. Two chiral complexes, trans-[RuCl2((R)-tolbinap)((R,R)-dpen)] and its (S,S)-dpen analog were characterized by x-ray crystallog. (both: monoclinic space group C2, R = 0.034). The complexes were found to be among the most reactive (pre)catalysts for homogeneous **hydrogenation** so far reported. 4-R-cyclohexanones (R = H, t-Bu, Ph) were **hydrogenated** in the presence of an achiral complex and (CH3)3COK to rapidly produce cyclohexanol (R = H) and cis-cyclohexanols with a high cis selectivity. Rapid, highly productive asym. **hydrogenation** of **ketones** was achieved with the chiral precatalysts. Acetophenone was **hydrogenated** in the presence of a chiral complex and (CH3)3COK to give (R)-1-phenylethanol with 80% ee and in 100% yield. Asym. **hydrogenation** of 2,4,4-trimethyl-2-cyclohexenone with a chiral complex gave (R)-2,4,4-trimethyl-2-cyclohexanol with 94% ee and in 100% yield. This new **hydrogenation** procedure is clean, mild, efficient, and offers a very practical method of chiral alc. synthesis.
RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2003 ACS
AN 1998:204901 CAPLUS
DN 128:206131
TI Designed synthesis of new chiral diaminodiphosphine ruthenium complexes and their application in enantioselective **hydrogenation** of aromatic **ketones**
AU Gao, Jingxing; Xu, Pianpian; Huang, Peiqing; Wan, Huilin; Tsai, Khirui
CS Solid Surface Inst. Phys. Chem., Xiamen Univ., Xiamen, 361005, Peop. Rep. China
SO Fenzi Cuihua (1997), 11(6), 413-416
CODEN: FECUEN; ISSN: 1001-3555
PB Zhongguo Kexueyuan Lanzhou Huaxue Wuli Yanjiuso
DT Journal; General Review
LA Chinese
AB A review with 5 refs. on designed synthesis, structure, and catalytic properties of new **ruthenium** complexes with C2-sym. diimino- or **diamino-diphosphine** ligands. The trans-RuCl2 complex with C2-sym. **diamine/diphosphine** tetradentate ligands is an effective catalyst precursor for the asym. transfer **hydrogenation** of arom. **ketones** with up to 97% enantiomeric excess. The mechanism of asym. transfer redn. of **ketones** was discussed.

L2 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2003 ACS
AN 1998:66778 CAPLUS
DN 128:127785
TI Asymmetric Activation of Racemic Ruthenium(II) Complexes for Enantioselective **Hydrogenation**
AU Ohkuma, Takeshi; Doucet, Henri; Pham, Trang; Mikami, Koichi; Korenaga,

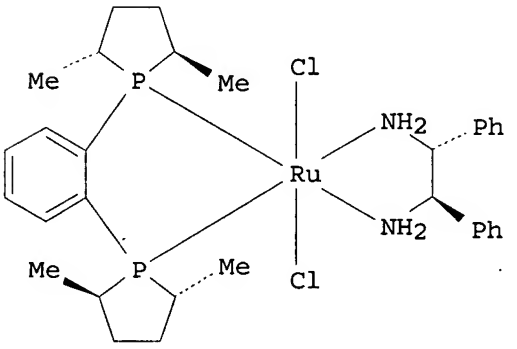
Toshinobu; Terada, Masahiro; Noyori, Ryoji
CS Department of Chemistry, Nagoya University, Nagoya, 464-01, Japan
SO Journal of the American Chemical Society (1998), 120(5), 1086-1087
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
OS CASREACT 128:127785
AB The enantiomer-selective activation of racemic metal complexes is a viable approach for practical asym. catalysis whenever enantiomerically pure ligands are not readily available. Racemic **diphosphine-Ru**(II) complexes can be activated for asym. **hydrogenation** of simple **ketones** by the addn. of a nonracemic 1,2-**diamine**. In the presence of a catalyst formed from racemic RuCl2[2,2'-bis(di-p-tolylphosphino)-1,1'-binaphthyl](DMF)n, (S,S)-1,2-diphenylethylenediamine, and KOH (or KOCMe3) in a 1:1:2 molar ratio in a 2-propanol-toluene mixt., 2,4,4-trimethyl-2-cyclohexen-1-one is **hydrogenated** under 8 atm of H2 at 0.degree. to give (S)-2,4,4-trimethyl-2-cyclohexen-1-ol in 95% ee. **Hydrogenation** of 9-acetylanthracene, 1'-acetonaphthone, and o-methylacetophenone with the same catalyst system affords the corresponding R alcs. in 80, 76, and 90% ee, resp. The enantioselectivity reflects the relative turnover nos. of the competing catalytic cycles involving the diastereomeric **diphosphine/diamine** mixed-ligand **Ru** complexes. The sense and degree of asym. **hydrogenation** are highly dependent on the structures of the **diphosphine**, **diamine**, and **ketonic** substrate.

L2 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2003 ACS
AN 1996:76749 CAPLUS
DN 124:232734
TI A **Ruthenium**(II) Complex with a C2-Symmetric **Diphosphine /Diamine** Tetradentate Ligand for Asymmetric Transfer **Hydrogenation** of Aromatic **Ketones**
AU Gao, Jing-Xing; Ikariya, Takao; Noyori, Ryoji
CS Chemistry Department, Xiamen University, Fujian, 361005, Peop. Rep. China
SO Organometallics (1996), 15(4), 1087-9
CODEN: ORGND7; ISSN: 0276-7333
PB American Chemical Society
DT Journal
LA English
OS CASREACT 124:232734
AB The trans-RuIICl2 complexes with structurally similar N,N'-bis[o-(diphenylphosphino)benzylidene]cyclohexane-1,2-**diamine** and N,N'-bis[o-(diphenylphosphino)benzyl]cyclohexane-1,2-**diamine** ligands were synthesized, and their mol. structures were detd. The C2-sym. **diphosphine/diamine**-based **Ru** complex acts as an excellent catalyst precursor in asym. transfer **hydrogenation** of acetophenone in a 0.1M iso-PrOH soln., leading to 2-phenylethanol in 97% ee and in 93% yield after 7 h at 45.degree.. The high catalytic activity is contrasted to the low reactivity of a structurally similar **diphosphine**/diimine-based **Ru** complex. This transfer **hydrogenation** is characterized by low reversibility under these conditions.

AN 2002:89990 CAPLUS
DN 136:150932
TI **Ruthenium** chiral **diphosphine diamine** complexes and their use in asymmetric **hydrogenation** for preparation of chiral amines
IN Cobley, Christopher James; Henschke, Julian Paul; Ramsden, James Andrew
PA Chirotech Technology Limited, UK
SO PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002008169	A1	20020131	WO 2001-GB3271	20010720
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2002095056	A1	20020718	US 2001-911059	20010723
PRAI	GB 2000-18146	A	20000724		
	GB 2000-19227	A	20000804		
	GB 2001-1458	A	20010119		
	GB 2001-5742	A	20010308		

OS CASREACT 136:150932
GI



I

AB A process is described for the prepn. of an enantiomerically enriched chiral amine, (R1)(R2)CNH(R3), from an imine of formula (R1)(R2)C:N(R3) where (i) R1 is aryl, R2 is alkyl and R3 is aryl or aryl-CH2-, or (ii) R2 is linked with R1 and/or R3 to form one or more rings and R3 or R1 (if not in a ring) is H or a noninterfering org. group, the no. of C atoms in each of R1, R2 and R3 being up to 30, which comprises asym. **hydrogenation** of the imine in the presence of a base and, as catalyst, a **ruthenium** complex of a chiral **diphosphine** and a chiral **diamine**. Thus, [((R,R)-Me-DuPHOS)RuCl2((R,R)-DPEN)] (I) was prepd. and used in the catalytic asym. **hydrogenation** of N-(1-phenylethylidene)aniline to give chiral phenyl(1-phenylethyl)amine in 85% ee.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 2002:32245 CAPLUS
DN 136:281109
TI Preparation and use of polymer-supported chiral ruthenium complex catalyst
AU Gao, Jing-Xing; Yi, Xiao Dong; Tang, Chun-Liang; Xu, Pian-Pian; Wan, Hui-Lin
CS Department of Chemistry, Institute of Physical Chemistry, State Key Laboratory for Physical Chemistry of Solid Surfaces, Xiamen University, Xiamen, 361005, Peop. Rep. China
SO Polymers for Advanced Technologies (2001), 12(11-12), 716-719
CODEN: PADTE5; ISSN: 1042-7147
PB John Wiley & Sons Ltd.
DT Journal
LA English
AB The chiral diimino-**diphosphine** ligand, [(R,R)-P2N2], has been prepd. by the condensation of o-(diphenylphosphino)benzaldehyde and 1,2-**diamino**-cyclohexane. [(R,R)-P2N2] was reduced with excess NaBH4 in refluxing ethanol to afford the corresponding **diamino-diphosphine** ligand [(R,R)-P2(NH)2]. The interaction of [(R,R)-P2(NH)2] with trans-RuCl2(DMSO)4 gave the chiral **ruthenium** complex [(R,R)-RuP2(NH)2] in 84% yield. The reaction of [(R,R)-RuP2(NH)2] with poly(acrylic acid) using dicyclohexyl carbo-diimine as the coupling agent, gave water sol. poly(acrylic acid salt)-supported chiral **ruthenium** complex. . These chiral ligands and **ruthenium** complexes have been fully characterized by microanal. and IR, NMR spectroscopic methods. The polymer-bound **ruthenium** complex as catalyst was used in asym. transfer **hydrogenation** of acetophenone in 2-propanol, producing the 1-phenylethanol in 95% yield and 96% ee. The catalyst was reused twice with some loss of activity and enantioselectivity.
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 1998:345620 CAPLUS
DN 129:161688
TI Enantioselective preparation of C2-symmetrical ferrocenyl ligands for asymmetric catalysis
AU Schwink, Lothar; Knochel, Paul
CS Fachbereich Chem., Philipps-Univ. Marburg, Marburg, D-35032, Germany
SO Chemistry--A European Journal (1998), 4(5), 950-968
CODEN: CEUJED; ISSN: 0947-6539
PB Wiley-VCH Verlag GmbH
DT Journal
LA English
OS CASREACT 129:161688
AB Corey-Bakshi-Shibata (CBS) redn. of the 1,1'-diacylmetallocenes of Fe and **Ru** (e.g. 1,1'-(ClCH2CH2CH2C(O))2ferrocene) provides the C2-sym. diols 4 (e.g. (R,R)-1,1'-(MeCH(OH))2ferrocene) and 10, which proved to be useful starting materials for stereo-controlled ligand synthesis. Diols 4 and 10 can be easily converted to a wide range of **diamines**, **diphosphines**, and dithioacetates by nucleophilic substitution of the hydroxyl function with full retention of configuration. Also, the aminophosphines 30 (e.g. (.alpha.R,.alpha.'R)-2,2'-bis(.alpha.-(dimethylamino)(phenyl)methyl)-(S,S)-1,1'-bis(diphenylphosphino)ferrocene) and 31 (the **Ru** analog of the example for 30) become easily accessible. Compds. 30 and 31 were used as ligands complexed to Pd in enantioselective cross-coupling of racemic secondary Grignard reagents with vinyl bromides. A selectivity up to 93% ee could be reached for the 1st time in the prepn. of (S)-(E)-1,3-diphenyl-1-butene, which was

transformed into the enantiomerically pure chiral building block (2R,4R)-2,4-diphenyl-3-pentanol with a pseudoasym. center in a straightforward, three-step synthesis.
RE.CNT 93 THERE ARE 93 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 1998:209631 CAPLUS
DN 128:257208
TI Hydrogen transfer **hydrogenation** of acetophenone by ruthenium complexes containing polydentate aminophosphine ligand
AU Xu, Pian-Pian; Gao, Jing-Xing; Zheng, Rong-Hui; Peng, Wei-Ping; Huang, Pei-Qiang; Wan, Hui-Lin; Wang, Wen-Guo; Ding, Kai-Ning; Cheng, Shou-Zheng
CS Department Chemistry, State Key Laboratory Physical Chemistry Solid Surface, Institute Physical Chemistry, Xiamen University, Xiamen, 361005, Peop. Rep. China
SO Gaodeng Xuexiao Huaxue Xuebao (1998), 19(3), 442-445
CODEN: KTHPDM; ISSN: 0251-0790
PB Gaodeng Jiaoyu Chubanshe
DT Journal
LA Chinese
AB The catalytic hydrogen transfer **hydrogenation** of acetophenone using C2-sym. **diamine/diphosphine ruthenium** (II) complex has been studied. Complex RuCl2(P2N2H4) shows an excellent catalytic activity in **hydrogenation** of acetophenone at the molar ratio of substrate/**Ru**/iso-PrOK 200 : 1 : 12, leading to 2-phenylethanol in 99% yield after 2h. A hydrogen transfer mechanism is also discussed.

L5 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 1997:541392 CAPLUS
DN 127:235965
TI Synthesis of chiral amines catalyzed homogeneously by metal complexes
AU James, Brian R.
CS Department of Chemistry, University of British Columbia, Vancouver, BC, Can.
SO Catalysis Today (1997), 37(2), 209-221
CODEN: CATTEA; ISSN: 0920-5861
PB Elsevier
DT Journal; General Review
LA English
AB This review (74 refs.) describes developments in catalytic asym. **hydrogenation** of prochiral imines. The homogeneous systems were initially dominated by ones based on Rh complexes contg. chiral, chelating **diphosphine** ligands, although related **Ru**- and Ir-based systems are becoming more prominent; a very recent, extremely effective hydrogen transfer system (from formic acid), based on **Ru** catalysts contg. chiral 1,2-**diamine** ligands, is esp. significant. A fundamentally different type involving an early transition-metal catalyst (a chiral titanocene) has been reported. Enantiomeric excess values in the range of 90-100% have now been achieved with certain substrates. Emphasis is given to some Rh and **Ru** catalysts developed by the author and his colleagues. Factors discussed include: dependence of conversions, rates and e.e. values on substrate and catalyst type; kinetic dependences; and mechanistic insights, esp. possible roles of intermediate metal-hydride and -imine species.

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 1997:417145 CAPLUS
DN 127:103518
TI Synthesis, characterization and catalytic properties of new **diamino/diphosphine ruthenium** complexes
AU Xu, Pianpian; Gao, Jingxing; Wang, Wenguo; Chen, Zhong; Huang, Peiqiang;

Wan, Huilin; Tsai, Khi-Rui
CS Dep. of Chemistry, State Key Laboratory of Physical Chemistry of Solid Surface and Institute of Physical Chemistry, Xiamen University, Xiamem, 361005, Peop. Rep. China
SO Wuli Huaxue Xuebao (1997), 13(6), 484-488
CODEN: WHXUEU; ISSN: 1000-6818
PB Beijing Daxue Chubanshe
DT Journal
LA Chinese
AB Polydentate ligands, N,N'-bis[o-(diphenylphosphino)benzylidene]-1,2-propanediamine [P2N2Me] and N,N'-bis[o-(diphenylphosphino)benzyl]-1,2-propanediamine [P2N2H4Me] were synthesized. The interaction of RuCl2(DMSO)4 with one equiv. of P2N2Me or P2N2H4Me in refluxing toluene gave trans-RuCl2(P2N2Me) and trans-RuCl2(P2N2H4Me) in good yield, resp. The ligands and the complexes were fully characterized by elemental anal. and spectroscopic methods. The complexes act as an excellent catalyst precursor in H transfer **hydrogenation** of acetophenone in catalyst:acetophenone:iso-PrOK of 1:100:15, leading to 2-phenylethanol of 89-96% yield. The crystal structure of trans-Ru(P2N2Me)Cl2 was detd.

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 1997:225240 CAPLUS
DN 126:317212
TI A new catalyst for hydrogen transfer **hydrogenation** of acetophenone
AU Xu, Pian Pian; Zheng, Rong Hui; Gao, Jing Xing; Huang, Pei Qing; Wan, Hui Lin
CS Dep. Chem. State Key Lab. Phys. Chem. Solid Surface, Xiamen Univ., Xiamen, 361005, Peop. Rep. China
SO Chinese Chemical Letters (1997), 8(3), 255-258
CODEN: CCLEE7
PB Chinese Chemical Society
DT Journal
LA English
OS CASREACT 126:317212
AB A new C2-sym. **diamine/diphosphine ruthenium** (II) complex, RuCl2P2N2H4, was used as an excellent catalyst to carry out the catalytic hydrogen transfer redn. of acetophenone. The conversion of acetophenone to 1-phenylethanol was up to 99% under the following reaction conditions: substrate:**Ru**:(CH3)2CHOK=200:1:12; reaction temp. of 65.degree.C; reaction time of 2 h; normal pressure. A hydride transfer mechanism was also discussed.

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 1990:590883 CAPLUS
DN 113:190883
TI Process for the **reduction** of aromatic nitro compounds by carbon monoxide in aqueous alkali
IN Nomura, Kotohiro; Ishino, Masaru
PA Sumitomo Chemical Co., Ltd., Japan
SO Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 369864	A2	19900523	EP 1989-403095	19891109
	EP 369864	A3	19910403		
	EP 369864	B1	19940309		
	R: CH, DE, FR, GB, IT, LI				
	US 5087755	A	19920211	US 1989-436690	19891115
	JP 03169838	A2	19910723	JP 1989-300073	19891117

JP 2765127 B2 19980611
PRAI JP 1988-293394 19881118
JP 1989-226930 19890831
OS MARPAT 113:190883
AB The title process comprises redn. of arom. compds. by CO in the presence of alkali aq. soln., e.g., aq. NaOH, and a Rh compd. as a catalyst. Alternatively, a Rh or **Ru** catalyst was used in the presence of alkali aq. soln. and .gtoreq.1 amine, **diamine**, phosphine, **diphosphine**, or phosphite compd. Thus, 5 mmol C6H5NO2, 5 mL 5N NaOH, 15 mL MeOCH2CH2OH, and 0.02 mmol Rh4(CO)12 was placed into a Schlenk tube fitted with a gas bag with CO (1 atm) and the mixt. was stirred 3 h at 25.degree. to give 23 mmol C6H5NH2/mg atom Rh (a total turnover no. TN = 23), vs. TN .ltoreq. 1 without aq. NaOH. A similar redn. in the presence of the same amt. of aq. NaOH and 0.25 mmol Ph2PCH2PPH2/mg atom Rh gave TN = 50.

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=> s (hydrogena?(l)keto?)(l)?hydrid?
        243188 HYDROGENA?
        271754 KETO?
        320673 ?HYDRID?
L4         829 (HYDROGENA?(L)KETO?)(L)?HYDRID?

=> s l4 and (ru or ruthenium)
         54648 RU
         69870 RUTHENIUM
L5          66 L4 AND (RU OR RUTHENIUM)

=> s l5 and ?phsophi?
            74 ?PHSOPHI?
L6           0 L5 AND ?PHSOPHI?

=> s l5 and ?phosphi?
        225251 ?PHOSPHI?
L7          41 L5 AND ?PHOSPHI?

=> s l7 and ?diamin?
        248437 ?DIAMIN?
L8           8 L7 AND ?DIAMIN?

=> d bib abs 1-8

L8   ANSWER 1 OF 8  CAPLUS  COPYRIGHT 2003 ACS
AN   2002:617740  CAPLUS
TI   Mechanism of the hydrogenation of ketones catalyzed by
     dihydrido(diamine)ruthenium(II) complexes
AU   Morris, Robert H.; Abdur-Rashid, Kamaluddin; Clapham, Sean E.; Had-ovic,
     Alen; Lough, Alan; Harvey, Jeremy N.
CS   Department of Chemistry, University of Toronto, Toronto, ON, M5S 3H6, Can.
SO   Abstracts of Papers, 224th ACS National Meeting, Boston, MA, United
     States, August 18-22, 2002 (2002), INOR-588 Publisher: American Chemical
     Society, Washington, D. C.
     CODEN: 69CZPZ
DT   Conference; Meeting Abstract
LA   English
AB   The trans-dihydride complexes Ru(H)2(R-binap)(tmen)
     and Ru(H)2(PPh3)2(tmen), tmen=NH2CMe2CMe2NH2 and cis-
     dihydride RuH2(PPh3)2(cydn), cydn=R,R-diaminocyclohexane
     , have been prepd. Corresponding unprecedented hydridoamido
     complexes RuH(R-binap)(NH2CMe2CMe2NH), RuH(PPh3)2(NH2CMe2CMe2NH), and
     RuH(PPh3)2(NH2C6H10NH) are prepd. from the dihydrides by
     reaction with acetophenone or from the corresponding complexes RuHCl(
     diamine)(phosphine)2 by reaction with a base with a
     pKaTHF of approx. 40 for the acid form. A rate law for the
     hydrogenation of acetophenone in benzene and isopropanol catalyzed
     by the dihydride or amido complexes is first order in [catalyst]
     and [H2] and zero order in [ketone]. Both theory and expt.
     suggest that the intramol. heterolytic splitting of dihydrogen across the
     polar Ru-N double bond of the hydrido amido complexes
     is the turn-over limiting step under the conditions studied. The crystal
     structure of RuH(OCHO)(PPh3)2(tmen) displays similar features to the
     calcd. transition state for proton/ hydride transfer to the
     ketone. The stereochem. of the transfer explains the
     enantioselectivity of Noyori-type ketone asym.
     hydrogenation catalysts.

L8   ANSWER 2 OF 8  CAPLUS  COPYRIGHT 2003 ACS
AN   2001:639488  CAPLUS
TI   Ionic asymmetric hydrogenation: Direct hydride and
```

proton transfer from chiral catalysts trans-**Ru**(H)2(**diphosphine**)(**diamine**) to **ketones** and imines

AU Abdur-Rashid, Kamaluddin; Clapham, Sean; Faatz, Michael; Lough, Alan; Morris, Robert H.

CS Department of Chemistry, University of Toronto, Toronto, ON, M5S 3H6, Can.

SO Abstracts of Papers, 222nd ACS National Meeting, Chicago, IL, United States, August 26-30, 2001 (2001), INOR-301 Publisher: American Chemical Society, Washington, D. C.
CODEN: 69BUZP

DT Conference; Meeting Abstract

LA English

AB The trans-**dihydride** complex RuH2(R-binap)(tmen) (tmen=2,3-**diamino**-2,3-dimethylbutane) has been isolated, characterized and has the spectroscopic and catalytic properties of the **dihydrides** present in the Noyori mixts. used for the enantioselective **hydrogenation** of **ketones**. A model of H+/H- transfer from such trans-**dihydrides** to **ketones** and imines is proposed that explains, and allows the prediction of, the stereochem. of the chiral alcs. and amines produced in these reactions. The use of a **diamine** without .beta.-hydrogens allows the isolation of the **dihydride** and the amido complex with which it is in equil.

L8 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 2001:597933 CAPLUS

DN 135:180775

TI Process for preparing optically active secondary alcohols having nitrogenous or oxygenic functional groups

IN Nakano, Seiji; Noyori, Ryoji; Ohkuma, Takeshi; Ishii, Dai

PA Asahi Kasei K. K., Japan

SO PCT Int. Appl., 163 pp.
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001058843	A1	20010816	WO 2001-JP797	20010205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001030583	A5	20010820	AU 2001-30583	20010205
EP 1254885	A1	20021106	EP 2001-902770	20010205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI JP 2000-30127	A	20000208		
WO 2001-JP797	W	20010205		

OS CASREACT 135:180775; MARPAT 135:180775

AB Described is a process for prepg. optically active secondary alcs. of the general formula R1C*H(OH)(CH2)nA [wherein R1 is linear lower alkyl, or (un)substituted mono-, di-, or tricyclic arom. hydrocarbon or heterocyclic ring group; A is CH2NR2R3, CH2OR4, or CH(OR15)2; wherein R2 is acyl, alkoxycarbonyl, (un)substituted linear, branched, or cyclic alkyl, (un)substituted alkenyl, aralkyl, or aryl, (un)substituted and (un)satd. carbon chain, (un)substituted mono- or polycyclic heterocyclyl, etc.; R3 is (un)substituted linear, branched, or cyclic alkyl, (un)substituted alkenyl, aralkyl, or aryl, (un)substituted and (un)satd. carbon chain, (un)substituted mono- or polycyclic heterocyclyl, etc.; R4 (un)substituted

linear, branched, or cyclic alkyl, (un)substituted benzyl, aralkyl, or aryl, (un)substituted and (un)satd. carbon chain, (un)substituted mono- or polycyclic heterocyclyl, etc.; R15 is linear, branched, or cyclic lower alkyl, (un)substituted Ph or benzyl, etc.; n is an integer of 0 to 2; and * represents an asym. carbon atom] by asym. hydrogenating a ketone compd. of the general formula R1CO(CH2)nA (R1, n, and A are same as above) having a nitrogenous or oxygenic functional group at any of the a-, .beta.- and .gamma.-positions, with selectivity among functional groups by the use of a **ruthenium**/optically active bidentate **phosphine**/**diamine** complex as the catalyst in the presence of hydrogen alone or together with a base. This precess gives in high yields with high enantioselectivity under mild conditions, optically active secondary alcs. which are useful as drugs and intermediates for the prepn. of drugs. Thus, 1.2 mg trans-RuCl2[(S)-xylbinap][(S)-daipen] [wherein xylbinap = 2,2'-bis[bis(3,5-dimethylphenyl)**phosphino**]-1,1'-binaphthyl; 1-isopropyl-2,2-bis(p-methoxyphenyl)**ethylenediamine**] (prepn. given), 3.46 g 4'-fluoro-4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]butyrophenone, 200 .mu.L 1.0 M potassium tert-butoxide/2-methyl-2-propanol soln., and 20 mL 2-propanol were vigorously stirred under hydrogen at 8 atm and 25.degree. for 32 h to give 94.5% (R)-1-(4-fluorophenyl)-4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]butanol (99% ee).

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

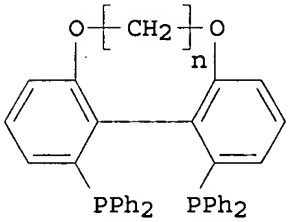
L8 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 2001:489998 CAPLUS
DN 135:235388
TI Catalytic Cycle for the Asymmetric **Hydrogenation** of Prochiral **Ketones** to Chiral Alcohols: Direct **Hydride** and Proton Transfer from Chiral Catalysts trans-**Ru**(H)2(**diphosphine**)(**diamine**) to **Ketones** and Direct Addition of Dihydrogen to the Resulting **Hydridoamido** Complexes
AU Abdur-Rashid, Kamaluddin; Faatz, Michael; Lough, Alan J.; Morris, Robert H.
CS Department of Chemistry, University of Toronto, Toronto, ON, M5S 3H6, Can.
SO Journal of the American Chemical Society (2001), 123(30), 7473-7474
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
OS CASREACT 135:235388
AB RuH(Cl)(R-binap)(HL) (I; HL = 2,3-**diamino**-2,3-dimethylbutane), prepd. from RuHCl(binaph)(PPh3) and HL, reacted with KHBsecBu3 to give trans-RuH2(R-binap)(HL) (II) which lost H to give RuH(R-binap)L (III). III reacted instaneously to give II. The crystal structures of I, II and III were detd. Acetophenone and II in C6D6 led to the formation of III. II in acetophenone under H2 catalytically produces S-phenylethanol in about 14 % ee. whereas RuH2(R,R-dpen)(R-binap) (R,R-dpen = R,R-1,2-**diphenylethylenediamine**) and RuH2(R-daipne)(R-binap) (R-daipne = R-NH2CHiPrC(C6H4OMe)2NH2) are more active and produces S-alcs. in higher yields. The use of a **diamine** with .alpha.-H's allows the isolation of a trans-**dihydride** and the amido complex with which it is in equil. by loss of H2. Such species are proposed to form in the Noyori mixt. used for the enantioselective **hydrogenation** of **ketones** by the reaction of the precursor chloro complexes with hydrogen and alkoxide base. A model of H.delta.+...H.delta.- transfer from such a trans-**dihydride-diamine** complex to a prochiral **ketone** is proposed that explains, and allows the prediction of, the stereochem. of the chiral alcs. produced in these reactions.

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 2001:228894 CAPLUS
DN 134:266437
TI Chiral **phosphines**, transition metal complexes thereof and uses thereof in asymmetric reactions
IN Zhang, Xumu
PA Penn State Research Foundation, USA
SO PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001021625	A1	20010329	WO 2000-US25635	20000919
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1214328	A1	20020619	EP 2000-965136	20000919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRAI US 1999-154845P P 19990920
WO 2000-US25635 W 20000919
OS CASREACT 134:266437; MARPAT 134:266437
GI



I

AB Chiral ligands and transition metal complexes based on such chiral ligands useful in asym. catalysis are disclosed. The chiral ligands include chiral C1-C6-TunaPhos ligands I (n = 1-6). The **ruthenium** TunaPhos complex reduces **ketones** to the corresponding alcs. with 95-99.6 % enantioselectivity. The transition metal complexes of the chiral ligands are useful in asym. reactions such as asym. **hydrogenation**, **hydride** transfer, hydrosilylation, hydroboration, hydrovinylation, hydroformylation, hydrocarboxylation, isomerization, allylic alkylation, cyclopropanation, Diels-Alder reaction, Heck reaction, isomerization, Aldol reaction, Michael addn. and epoxidn. reactions.
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

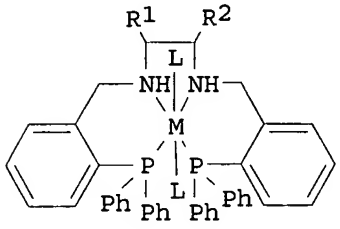
L8 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 2001:124763 CAPLUS
DN 134:304622

TI RuHCl(**diphosphine**)(**diamine**): Catalyst Precursors for the Stereoselective Hydrogenation of Ketones and Imines
AU Abdur-Rashid, Kamaluddin; Lough, Alan J.; Morris, Robert H.
CS Department of Chemistry, University of Toronto, Toronto, ON, M5S 3H6, Can.
SO Organometallics (2001), 20(6), 1047-1049
CODEN: ORGND7; ISSN: 0276-7333
PB American Chemical Society
DT Journal
LA English
AB New chiral complexes RuHCl(**diphosphine**)(**diamine**) are readily prepd. from RuHCl(PPh3)3 [**diphosphine** = R-binap, R,R-1,2-bis(**diphenylphosphinamino**)cyclohexane (dppach); **diamine** = R,R-1,2-**cyclohexanediamine** (cydn), R,R-1,2-**diphenylethylenediamine** (dpen)]. Crystal structures were detd. for [RhHCl(R,R-dppach)(PPh3)] and [RhHCl(R-binap)(R,R-dpen)].cntdot.THF. The **diamine** complexes, in the presence of alkoxide base, catalyze the hydrogenation of a wide variety of ketones and imines at 3 atm H2, 20.degree., including prochiral imines to chiral amines in good to excellent enantiomeric excess.
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 2000:382195 CAPLUS
DN 133:150079
TI **Ruthenium Dihydride** RuH2(PPh3)2((R,R)-**cyclohexyldiamine**) and **Ruthenium Monohydride** RuHCl(PPh3)2((R,R)-**cyclohexyldiamine**): Active Catalyst and Catalyst Precursor for the **Hydrogenation** of **Ketones** and Imines
AU Abdur-Rashid, Kamaluddin; Lough, Alan J.; Morris, Robert H.
CS Department of Chemistry, University of Toronto, Toronto, ON, M5S 3H6, Can.
SO Organometallics (2000), 19(14), 2655-2657
CODEN: ORGND7; ISSN: 0276-7333
PB American Chemical Society
DT Journal
LA English
OS CASREACT 133:150079
AB The new **monohydride** RuHCl(PPh3)2(R,R-cydn), with base added, and **dihydride** RuH2(PPh3)2(R,R-cydn), in the absence of base, catalyze the **hydrogenation** of a wide variety of **ketones** and some imines at 3 atm of H2 and 20.degree. with high turnover nos. Thus, RuH2(PPh3)2(R,R-cydn) (1)-catalyzed **hydrogenation** of acetophenone gave (S)-phenylethyl alc. in 60% enantiomeric excess. The crystal structure of 1 was detd. The mechanism is thought to involve the concerted dihydrogen transfer from cis **hydride** and N-H groups to the substrate followed by heterolytic dihydrogen splitting.
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 2000:59461 CAPLUS
DN 132:87337
TI Preparation of chiral **diaminodiphosphine** metal complexes as catalysts in asymmetrically catalytic hydrogenation
IN Gao, Jingxing; Xu, Pianpian; Huang, Peiqiang; Wan, Huilin; Cai, Qirui
PA Xiamen Univ., Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 9 pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI	CN 1168889	A	19971231	CN 1997-112606	19970602
	CN 1047597	B	19991222		
PRAI	CN 1997-112606		19970602		
OS	MARPAT 132:87337				
GI					



I

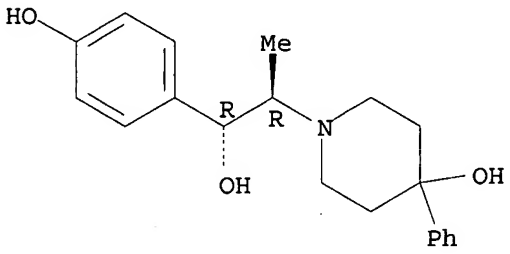
AB Title complexes [I; R = H, CH3, C6H5; R1 = H, CH3, C6H5; M = **Ru**, Rh, Pd, Cu, Ag, Cr, Mo; L = Cl, Br, OAc, electrons] are prepd. from 2-Ph2PC6H4CHO and H2NCHRCHR1NH2 in halohydrocarbon solvent in the presence of a dewatering agent (NaSO4, MgSO4, CaCl2, CaO) at 15-42.degree. for 40-55 h following with treatment by NaBH4 in alc. (MeOH, EtOH) at 56-78.degree. for 40-60 and react with MYX (M as above; Y = (DMSO)4, (CH3CN)2, (CO)4; X = Cl2, C7H8). Title complexes were used as catalyst of asym. **hydrogenation** of aryl **ketone**. The asym. **hydrogenation** process comprised mixing aryl **ketone** and reducer (H2, **borohydride**, isopropanol-K isopropoxide, isopropanol-KOH, isobutanol-K isobutoxide) with the title complex and base, stirring at 0-50.degree. for 5-96 h while aerating, concg. in vacuum, and purifying with silica gel column.

L8 ANSWER 1 OF 6 REGISTRY COPYRIGHT 2003 ACS
RN **189894-54-0** REGISTRY
CN 1-Piperidineethanol, 4-hydroxy-.alpha.-(4-hydroxyphenyl)-.beta.-methyl-4-phenyl-, (.alpha.R,.beta.R)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H25 N O3 . C4 H6 O6
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 134234-13-2
CMF C20 H25 N O3

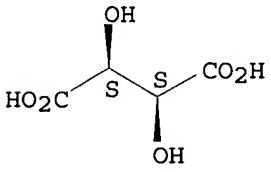
Absolute stereochemistry. Rotation (-).



CM 2

CRN 147-71-7
CMF C4 H6 O6

Absolute stereochemistry.



3 REFERENCES IN FILE CA (1962 TO DATE)
3 REFERENCES IN FILE CAPLUS (1962 TO DATE)

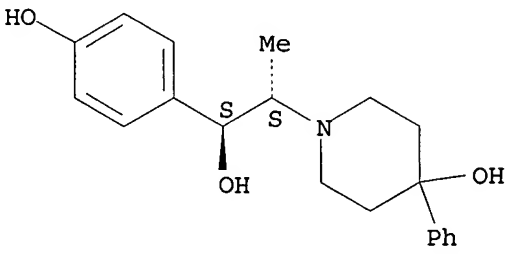
L8 ANSWER 2 OF 6 REGISTRY COPYRIGHT 2003 ACS
RN **188591-67-5** REGISTRY
CN 1-Piperidineethanol, 4-hydroxy-.alpha.-(4-hydroxyphenyl)-.beta.-methyl-4-phenyl-, (.alpha.S,.beta.S)-, methanesulfonate (salt) (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1-Piperidineethanol, 4-hydroxy-.alpha.-(4-hydroxyphenyl)-.beta.-methyl-4-phenyl-, [S-(R*,R*)]-, methanesulfonate (salt)
OTHER NAMES:
CN CP 101606-27
CN Traxoprodil mesylate
FS STEREOSEARCH
MF C20 H25 N O3 . C H4 O3 S
SR CA

LC STN Files: CA, CAPLUS, CASREACT, PHAR, TOXCENTER, USPATFULL

CM 1

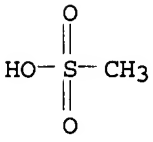
CRN 134234-12-1
CMF C20 H25 N O3

Absolute stereochemistry. Rotation (+).



CM 2

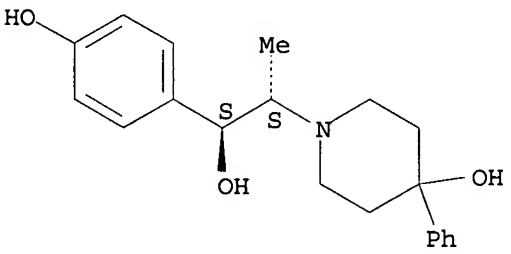
CRN 75-75-2
CMF C H4 O3 S



6 REFERENCES IN FILE CA (1962 TO DATE)
6 REFERENCES IN FILE CAPLUS (1962 TO DATE)

L8 ANSWER 3 OF 6 REGISTRY COPYRIGHT 2003 ACS
RN **188591-65-3** REGISTRY
CN 1-Piperidineethanol, 4-hydroxy-.alpha.-(4-hydroxyphenyl)-.beta.-methyl-4-phenyl-, (.alpha.R,.beta.R)-rel- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1-Piperidineethanol, 4-hydroxy-.alpha.-(4-hydroxyphenyl)-.beta.-methyl-4-phenyl-, (R*,R*)-
FS STEREOSEARCH
DR 134138-41-3
MF C20 H25 N O3
CI COM
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

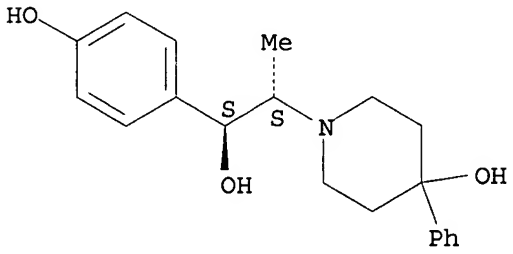
12 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
12 REFERENCES IN FILE CAPLUS (1962 TO DATE)

L8 ANSWER 4 OF 6 REGISTRY COPYRIGHT 2003 ACS
RN **169332-17-6** REGISTRY
CN 1-Piperidineethanol, 4-hydroxy-.alpha.-(4-hydroxyphenyl)-.beta.-methyl-4-phenyl-, (.alpha.S,.beta.S)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1-Piperidineethanol, 4-hydroxy-.alpha.-(4-hydroxyphenyl)-.beta.-methyl-4-phenyl-, [S-(R*,R*)]-, [S-(R*,R*)]-2,3-dihydroxybutanedioate (1:1) (salt)
FS STEREOSEARCH
MF C20 H25 N O3 . C4 H6 O6
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 134234-12-1
CMF C20 H25 N O3

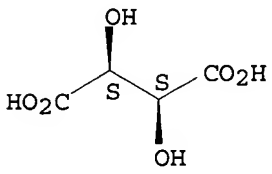
Absolute stereochemistry. Rotation (+).



CM 2

CRN 147-71-7
CMF C4 H6 O6

Absolute stereochemistry.



6 REFERENCES IN FILE CA (1962 TO DATE)
6 REFERENCES IN FILE CAPLUS (1962 TO DATE)

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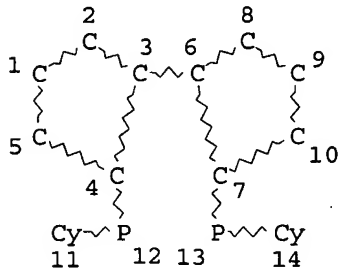

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GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

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100.0% PROCESSED 151 ITERATIONS 9 ANSWERS
SEARCH TIME: 00.00.01

L3 9 SEA SSS FUL L1

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L3 9 ANSWERS REGISTRY COPYRIGHT 2003 ACS
IN Boron, [.mu.-[(1R,1'R,2R,2'R)-[1,1'-bicyclopentyl]-2,2'-diylbis[diphenylphosphine-.kappa.P]]]hexahydrodi- (9CI)
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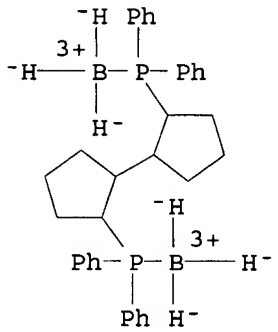
CI CCS



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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